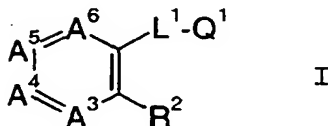




What is claimed is:

1. A compound of formula I



(or a pharmaceutically acceptable salt thereof) wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶; wherein

R³ is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R⁴ and R⁵ is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, R^fO₂CCH₂O-, HO(CH₂)_aO- (in which a is 2, 3 or 4), R^fO₂C-, R^fO₂CCH₂-, R^gNH-, R^hSO₂-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R^fO₂C(CH₂)₂-;

the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen, methyl, fluoro, chloro or methoxy;

in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino;

or each of R³, R⁴ and R⁶ is hydrogen; and R⁵ is vinyl, 2-cyanovinyl, 2-(((1-2C)alkoxy)carbonyl)vinyl or R^a in which R^a is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

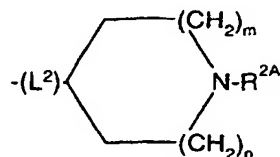
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and may bear one or more methyl substituents on carbon or nitrogen);

L^1 is $-\text{CO}-\text{NH}-$ such that $-\text{L}^1-\text{Q}^1$ is $-\text{CO}-\text{NH}-\text{Q}^1$;

Q^1 is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

R^2 is $-\text{L}^2-\text{Q}^2$ in which $-\text{L}^2-$ is $-\text{NH}-\text{CO}-$, $-\text{NH}-\text{CO}-\text{X}-$, $-\text{NH}-\text{CO}-\text{O}-\text{X}-$, $-\text{NH}-\text{CO}-\text{NH}-\text{X}-$, $-\text{NH}-\text{CH}_2-$, $-\text{NH}-\text{C}(\text{CH}_3)\text{H}-$, $-\text{N}(\text{CH}_3)-\text{CH}_2-$ or $-\text{O}-\text{CH}_2-$; and Q^2 is Q^{2A} , Q^{2B} , Q^{2C} , Q^{2D} , Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L^2 and Q^2 are together selected from $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2A}$, $-\text{NH}-\text{CO}-\text{O}-\text{X}-\text{Q}^{2A}$, $-\text{NH}-\text{CO}-\text{NH}-\text{X}-\text{Q}^{2A}$, $-\text{NH}-\text{CH}_2-\text{Q}^{2A}$, $-\text{NH}-\text{C}(\text{CH}_3)\text{H}-\text{Q}^{2A}$, $-\text{N}(\text{CH}_3)-\text{CH}_2-\text{Q}^{2A}$, $-\text{O}-\text{CH}_2-\text{Q}^{2A}$, $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2B}$, $-\text{NH}-\text{CO}-\text{Q}^{2C}$, $-\text{NH}-\text{CO}-\text{Q}^{2D}$, $-\text{NH}-\text{CO}-\text{Q}^{2E}$ and $-\text{NH}-\text{CO}-\text{Q}^{2F}$ in which: Q^{2A} (showing the L^2 to which it is attached) is



in which

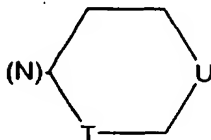
each of m and n independently is 0 or 1, or m is 2 and n is 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, $-\text{CHR}^Y\text{R}^Z$, $-\text{CHR}^W\text{R}^X$, or 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, $\{(1-2\text{C})\text{alkoxy}\}\text{carbonyl}$; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

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each of R^W and R^X independently is hydrogen or (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



5

in which T is a single bond or methylene and U is methylene, ethylene, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

10 ethan-1,1-diyl and U is a single bond or methylene;

R^Y is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

or R^{2A} is $-L^b-CH_2-R^b$ in which $-L^b-$ is a direct bond, $-CH_2-$, $-C(CH_3)H-$ or $-CH_2-CH_2-$; and R^b is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl;

25 or R^{2A} is $-CO-R^c$ in which R^c is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$ (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

30

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a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or $-NR^dR^e$ in which each of R^d and R^e is independently hydrogen, methyl or ethyl, or $-NR^dR^e$ is pyrrolidino, piperidino, morpholino or thiomorpholino;

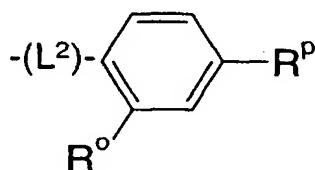
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group $-NR^sR^t$ in which each of R^s and R^t independently is hydrogen or methyl or R^s and R^t together are trimethylene or tetramethylene;

Q^{2E} is 1-piperidinyl which bears at the 4-position the group $-NR^sR^t$ (defined as above); and

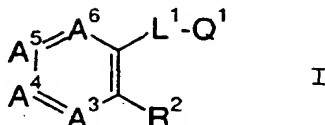
Q^{2F} (showing the L^2 to which it is attached) is



in which R^O is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^P is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or $-J-R^q$ in which J is a single bond, methylene, carbonyl, oxy, $-S(O)_q-$ (wherein q is 0, 1 or 2), or $-NR^r-$ (wherein R^r is hydrogen or methyl); and R^q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or $-NR^qR^r$ is pyrrolidino.

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2. The compound of formula I as claimed in Claim 1



5 (or a pharmaceutically acceptable salt thereof) wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶; wherein

10 R³ is hydrogen, methyl, fluoro, chloro or carboxy;

one of R⁴ and R⁵ is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R^fO-, R^fO₂CCH₂O-, HO(CH₂)_aO- (in which a is 2, 3 or 4), R^fO₂C-, R^fO₂CCH₂-, R^gNH- or R^hSO₂-;

15 the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen, methyl, fluoro, chloro or methoxy; in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino; L¹ is -CO-NH- such that -L¹-Q¹ is -CO-NH-Q¹;

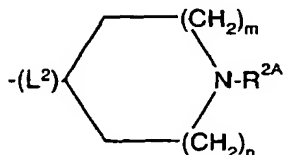
20 Q¹ is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

25 R² is -L²-Q² in which -L²- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH₂- or -O-CH₂-; and Q² is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or
30 methylene and the values of L² and Q² are together selected from -NH-CO-X-Q^{2A}, -NH-CO-O-X-Q^{2A}, -NH-CO-NH-X-Q^{2A},

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-NH-CH₂-Q^{2A}, -O-CH₂-Q^{2A}, -NH-CO-X-Q^{2B}, -NH-CO-Q^{2C},
 -NH-CO-Q^{2D}, -NH-CO-Q^{2E} and -NH-CO-Q^{2F} in which:

Q^{2A} (showing the L² to which it is attached) is



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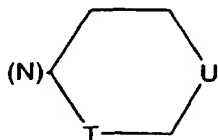
in which

each of m and n independently is 0 or 1, and

R^{2A} is hydrogen, t-butyl, methylsulfonyl, -CHRYR^Z,
 10 -CHR^WR^X, or 4-pyridinyl (which is unsubstituted or bears a
 substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl;
 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or
 15 (1-3C)normal alkyl; or -CHR^WR^X is 2-indanyl or (showing the
 nitrogen to which it is attached) is



20 in which T is a single bond or methylene and U is methylene,
 ethylene, oxy, -S(O)_q- (wherein q is 0, 1 or 2) or imino
 (which may bear a methyl substituent), or T is
 ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

25 R^Z is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl
 (which is unsubstituted or bears one or more substituents
 independently selected from halo, methyl, methoxy and
 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

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5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

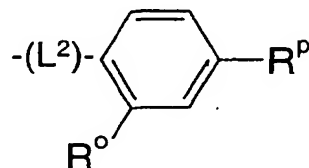
Q^{2B} is 1-piperazinyl which bears at the 4-position the group R^{2A} (defined as above);

10 Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group -NR^SR^t in which each of R^S and R^t independently is hydrogen or methyl or R^S and R^t together are trimethylene or tetramethylene;

15 Q^{2E} is 1-piperidinyl which bears at the 4-position the group -NR^SR^t (defined as above); and

Q^{2F} (showing the L² to which it is attached) is



20 in which R^O is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R^P is acetyl amino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or -J-R^Q in which J is a single bond, 25 methylene, carbonyl, oxy, -S(O)_q- (wherein q is 0, 1 or 2), or -NR^F- (wherein R^F is hydrogen or methyl); and R^Q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

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3. A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

A³, A⁴, A⁵ and A⁶, together with the two carbons to which they are attached, complete a substituted benzene in which A³ is CR³, A⁴ is CR⁴, A⁵ is CR⁵, and A⁶ is CR⁶; wherein

R³ is hydrogen;

one of R⁴ and R⁵ is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R^fO₂C- or R^gNH-;

the other of R⁴ and R⁵ is hydrogen; and

R⁶ is hydrogen;

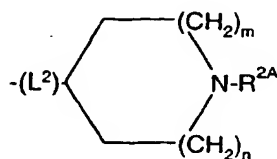
in which R^f is hydrogen, (1-4C)alkyl or benzyl; R^g is hydrogen or R^hSO₂-; and R^h is (1-4C)alkyl or dimethylamino;

L¹ is -CO-NH- such that -L¹-Q¹ is -CO-NH-Q¹;

Q¹ is 2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

R² is -L²-Q² in which -L²- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH₂- or -O-CH₂-; and Q² is Q^{2A}, Q^{2B}, Q^{2C}, Q^{2D}, Q^{2E} or Q^{2F} wherein X is a single bond or methylene and the values of L² and Q² are together selected from -NH-CO-X-Q^{2A}, -NH-CO-O-X-Q^{2A}, -NH-CO-NH-X-Q^{2A}, -NH-CH₂-Q^{2A}, -O-CH₂-Q^{2A}, -NH-CO-X-Q^{2B}, -NH-CO-Q^{2C}, -NH-CO-Q^{2D}, -NH-CO-Q^{2E} and -NH-CO-Q^{2F} in which:

Q^{2A} (showing the L² to which it is attached) is



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in which

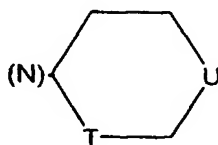
each of m and n independently is 0 or 1, and

R^{2A} is hydrogen, $-CHRYR^Z$, $-CHR^WR^X$, or 4-pyridinyl

5 (which is unsubstituted or bears a substituent R^V at the 2- or 3-position) wherein

R^V is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R^W and R^X independently is hydrogen or
 10 (1-3C)normal alkyl; or $-CHR^WR^X$ is 2-indanyl or (showing the nitrogen to which it is attached) is



15 in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

R^Z is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl

20 (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is
 25 a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

Q^{2B} is 1-piperazinyl which bears at the 4-position the
 30 group R^{2A} (defined as above);

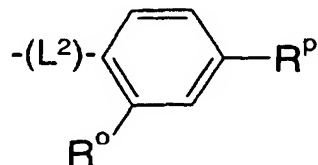
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Q^{2C} is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R^{2A} (defined as above);

Q^{2D} is cyclohexyl which bears at the 4-position the group -NR^SR^t in which each of R^S and R^t independently is hydrogen or methyl or R^S and R^t together are trimethylene or tetramethylene;

Q^{2E} is 1-piperidinyl which bears at the 4-position the group -NR^SR^t (defined as above); and

Q^{2F} (showing the L² to which it is attached) is



in which R^O is hydrogen and R^P is acetylamino,

1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,

dimethylaminosulfonyl or -J-R^Q in which J is a single bond, methylene, carbonyl, oxy, -S(O)_q- (wherein q is 0, 1 or 2), or -NR^r- (wherein R^r is hydrogen or methyl); and R^Q is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

4. The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

5. The compound of any of Claims 1-4 wherein Q¹ is 5-chloropyridin-2-yl, 5-fluoropyridin-2-yl, or

6-chloropyridazin-3-yl.

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6. The compound of any of Claims 1-5 wherein R² is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-
5 pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-
diny]piperidin-1-ylcarbonyl]amino, [1-(4-pyridiny]piper-
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-
piperidin-4-ylmethyl]amino.

10 7. The compound as claimed in any of Claims 1-6 wherein each of R³-R⁶ is hydrogen.

15 8. The compound as claimed in any of Claims 1-6 wherein each of R³, R⁴ and R⁶ is hydrogen and R⁵ is chloro or fluoro.

20 9. The compound as claimed in any of Claims 1, 4, 5 and 6 wherein each of R³, R⁴ and R⁶ is hydrogen and R⁵ is R^a wherein R^a is phenyl, furanyl, thienyl, 2-isothiazolyl or pyridyl.

25 10. The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-9 which is an acid-addition salt made from a basic compound of formula I and an acid which provides a pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which provides a pharmaceutically acceptable cation.

30 11. A pharmaceutical formulation comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a

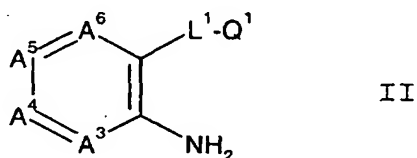
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pharmaceutically acceptable salt thereof) as provided in any of Claims 1-10.

12. A process for preparing a compound of formula I
 5 (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

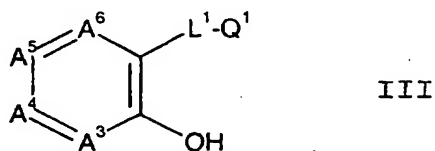
(A) for a compound of formula I in which $-L^2-Q^2$, is $-NH-CO-Q^2$, $-NH-CO-X-Q^2$, $-NH-CO-O-X-Q^2$ or $-NH-CO-NH-X-Q^2$, acylating an amine of formula II,

10



using a corresponding acid of formula $HO-CO-Q^2$, $HO-CO-X-Q^2$, $HO-CO-O-X-Q^2$, or $HO-CO-NH-X-Q^2$, or an activated derivative
 15 thereof;

(B) for a compound of formula I in which $-L^2-Q^2$ is $-O-CH_2-Q^{2A}$, acylating a phenol of formula III

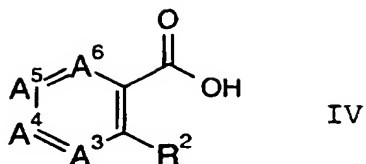


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using a reagent of formula $Y-CH_2-Q^{2A}$ in which Y is a conventional leaving group;

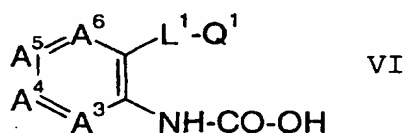
(C) acylating an amine of formula H_2N-Q^1 , or a deprotonated derivative thereof, using an acid of formula
 25 IV, or an activated derivative thereof;

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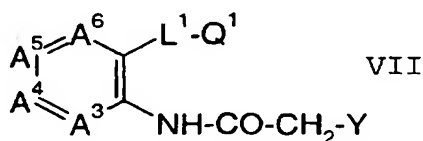
(D) for a compound of formula I in which R^2 is $-\text{NH}-\text{CH}_2-\text{Q}^{2\text{A}}$, alkylating an amine of formula II directly, using a compound of formula $\text{Y}-\text{CH}_2-\text{Q}^{2\text{A}}$, or indirectly by reductive alkylation using an aldehyde of formula $\text{Q}^{2\text{A}}-\text{CHO}$;

(E) for a compound of formula I in which R^2 is $-\text{NH}-\text{CO}-\text{O}-\text{X}-\text{Q}^{2\text{A}}$, or $-\text{NH}-\text{CO}-\text{NH}-\text{X}-\text{Q}^{2\text{A}}$, acylating an alcohol of formula $\text{HO}-\text{X}-\text{Q}^{2\text{A}}$ or an amine of formula $\text{NH}_2-\text{X}-\text{Q}^{2\text{A}}$, using an activated derivative of an acid of formula VI;



(F) for a compound of formula I in which R^2 is $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2\text{B}}$ in which X is a single bond, acylating at the 1-position a piperazine of formula $\text{H}-\text{Q}^{2\text{B}}$, using an activated derivative of an acid of formula VI;

(G) for a compound of formula I in which R^2 is $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2\text{B}}$ in which X is methylene, alkylating at the 1-position a piperazine of formula $\text{H}-\text{Q}^{2\text{B}}$, using an alkylating agent of formula VII



in which Y is a leaving group;

(H) for a compound of formula I in which $R^{2\text{A}}$ is methylsulfonyl, substituting the amino nitrogen of a

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corresponding compound of formula I in which R^{2A} is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R^{2A} is -CHRYR^Z or -CHRW^{RX}, alkylating the amino nitrogen of a

5 corresponding compound of formula I in which R^{2A} is hydrogen using an alkylating agent of formula Y-CHRYR^Z or Y-CHRW^{RX} or reductively alkylating the amine using a compound of formula RY-CO-R^Z or RW-CO-R^X;

(J) for a compound of formula I in which R^{2A} is
10 4-pyridinyl (which is unsubstituted or bears a substituent R^V at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R^{2A} is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

15 (K) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is alkoxycarbonyl, esterifying a corresponding compound of formula I in which R^V is carboxy;

(L) for a compound of formula I in which R^{2A} is
20 4-pyridinyl in which R^V is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(M) for a compound of formula I in which R^{2A} is
25 4-pyridinyl in which R^V is carbamoyl, amidating the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

(N) for a compound of formula I in which R^{2A} is
4-pyridinyl in which R^V is thiocarbamoyl, adding H₂S to the nitrile of a corresponding compound of formula I in which R^V is cyano;

30 (O) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is N-hydroxyamidino, adding H₂NOH to the nitrile of a corresponding compound of formula I in which R^V is cyano;

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(P) for a compound of formula I in which R^{2A} is 4-pyridinyl in which R^V is carboxy, decomposing the ester of a corresponding compound of formula I in which R^V is alkoxycarbonyl;

5 (Q) for a compound of formula I in which $-NR^{SR^t}$ is other than amino, alkylating a corresponding compound of formula I in which $-NR^{SR^t}$ is amino using a conventional method;

10 (R) for a compound of formula I which bears $-NR^{SR^t}$, reductively alkylating $H-NR^{SR^t}$ using a corresponding compound but in which the carbon to bear the $-NR^{SR^t}$ group bears an oxo group;

15 (S) for a compound of formula I in which R^P is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which R^P is acetyl using an organometallic reagent;

20 (T) for a compound of formula I in which R^P is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which R^P is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R^4 or R^5 is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R^4 or R^5 is nitro;

25 (V) for a compound of formula I in which R^4 or R^5 is R^gNH- and R^g is R^hSO_2- , substituting the amino group of a corresponding compound of formula I in which R^4 or R^5 is amino using an activated derivative of the sulfonic acid R^hSO_2-OH ;

30 whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a

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basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other
5 conventional procedure;

and wherein, unless otherwise specified, A³-A⁶, L¹, Q¹ and R² have any of the values defined in Claim 1 or 2.

13. A method of inhibiting factor Xa comprising
10 administering to a mammal in need of treatment, a compound of formula I as provided in any of Claims 1-10.

14. The use of a factor Xa inhibiting compound of formula I substantially as hereinbefore described with
15 reference to any of the examples.

15. A novel compound of formula I substantially as hereinbefore described with reference to any of the
20 examples.

16. A process for preparing a novel compound of formula I substantially as hereinbefore described with reference to any of the examples.